How Laetrile Works

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An intelligent discussion of a chemical substance such as Laetrile (amygdaline) demands an accurate scientific definition of all chemical terminology relating to the topic. In the past both pro-Laetrilists and anti-Laetrilists have created an enormous amount of confusion because both sides have refused to deal with the primary issues of technical semantics and definitions of terminology. Great pains have been taken to avoid that pitfall in the present publication.

What is Laetrile and Amygdaline?

The terms **Laetrile** and **amygdaline** (and amygdalin) are used interchangeably and according to the Merk Index (1989) they are chemically synonymous. To make it emphatically clear this reading is dealing with amygdalin and nothing else but the cacogenic glycoside known as amygdalin unless clearly designated to the contrary when the subject of Laetrile metabolic cancer therapy which will be discussed shortly.

Krebs and company have done much to completely confuse the issue as the precise chemical formula of Laetrile by introducing a potpourri of chemical terms such as Laetrile, laetrile, mandelonitrile, sarcomas, nitriloside. Vitamin B17, and betacyanogenic glycosides. All of these compounds are not chemically the same. Most of these issues are discussed in the FDA publication, ***Laetrile, The Comms1isioner's Decision*** (HEW, 1977).

There are two spellings of the term **Laetrile** and **laetrile**. The capitalized Laetrile is synonymous with amygdaline and will be used as such throughout the book, unless otherwise noted. According to the FDA the term **laetrile** with a lower case "I" is a generic term that includes Laetrile, Laetrile ®, laetrile, amygdaline, nitriloside, and vitamin B17. It is also used to include a number of other compounds, in which case it may appear as "laetrile."

The entire subject of amygdaline therapy is plagued with a cloud of technical semantics, most of which can be credited to Krebs and company and many of their proponents. The following chemical compounds have all been involved at one time or another in the amygdaline scenario:

Some of the following comments on the chemical structure and genesis of the laetriles were takes directly from a series of letters that I (BWH) received in the 1980's from Ernst Krebs, Jr.

According to Krebs, Jr. "laetrile" spelled with the small "l" was simply a crude extract of amygdalin. However, this is a worthless chemical definition that is of no practical value.

The compound which Krebs, Jr. extracted from apricot kernels (prunes armaeniaca Linnaeus), known as Laetrile was chemically pure natural amygdalin. Ernst T. Krebs, and Ernst T. Krebs Jr. registered the term Laetrile with the U.S. Patent Office June 30, 1953. This product is pure amygdalin and that is the form that historically had been used for medicinal purposes. Pure Amygdalin is the form that is being discussed throughout this book.

The uncertainty of the chemical identity of laetrile, Laetrile, and amygdalin is the major legal problem that has confronted the pro-laetrilsts, which they have largely ignored. The FDA has attempted to define the chemical nature of Laetrile and amygdalin. (Kennedy, FDA Docket No 77N-0048, 1977). The issue of chemical semantics has been the Achilles heel of the entire Laetrile movement. Clarification of the chemical identity of commercial Laetrile is imperative. It should be kept in mind that commercial Laetrile is not a crude extract of apricot kernels, but is a "purified" chemical agent that has been extracted with the use if ethyl alcohol. This requires the use of the correct chemical terminology, which is not always palatable to the no chemist, but is vital to any legal, therapeutic, or pharmaceutical discussion of Laetrile. The definition as given herein may not meet with complete acceptance by all pro-literalists, but follows the Merck Index, which is acceptable to chemists, and the FDA.

It is a basic fact of pharmacology that you must have consistency in the chemical structure of the drug you are administering, if you are to determine the proper dosage of the drug, it's toxicity and consistent efficacy in therapeutic results. We will be adhering to the Merck Index (1976).

The Chemical Nature of Amygdalin

Amygdalin is the first discovered and best known of the cyanogenic glycosides. Crystalline Amygdalin was first isolated in 1930 by two French chemists, Robiquet and Boutron-Charlard, from the bitter almond, *Amygdalus communis* Linnaeus, now known as *Prunus amygdalus* Batsch, of the rose family *Rosaceae*. Hence, the term amygdalin is appropriately named after the scientific name of the bitter almond. However, in modern times amygdalin is commercially extracted form the kernels of apricots (*Prunus armeniaca* Linnaeus). The generic tem amygdalus is derived from the Greek amygdale, amygdalin that is eaten throughout the world as a nutritional supplement. Apricot kernels are eaten in moderation, but should not be eaten with almonds.

The chemical structure of amygdalin is well established and is clearly recorded in the *Merck Index*, (9^{th} Ed., p. 81, 1976) as D(1)-mandelonitrile-b -D-glucosido-6-b -D-glucoside (other synonyms: (R-a-[(6-O-b -D-Glocopyranosyl-b -D-glucopyranosyl)] oxy benzeneacetronitrile; amygdaloidal; mandelanitrile-b -gentiobioside). The empirical formula is C20 H27 NO11. The molecular weight is 457.22. The element composition is C 52.51%, H 5.959%, N 3.06%, O 38.47%. For further information on the biochemistry of amygdalin see Vie hover and Mack (1935) and Oke (1969).

The Chemical Nature of Laetrile

The term laetrile was first proposed by Ernest T. Krebs, Jr., about 1949. The word is derived from a contraction of the chemical term laevo-mandelonitrile. Unfortunately derived from a technical viewpoint, the introduction of the term laetrile has not helped to clarify the issue, but if anything, has muddied up the water still further. Krebs has also used the term laetrile to broadly designate the beta-cyanogenic glycosides, which includes amygdalin and any of its chemical relatives as previously noted. Krebs has also designated laetrile as Vitamin B17 and has further proposed the term nitrilosides which is more or less a generic name for these same glucosides. The vitamin status of Laetrile is generally not accepted by most biochemists.

Synthetic Laetrile® is a decomposition product resulting from the hydrolysis of amygdalin. Synthetic laetrile apparently has never been commercially available in the United States to any great extent. One of the confusing issues is the chemical structure of one of Krebs's "laetrile" components, which contains one less glucose molecule than amygdalin, but does contain cyanide ion. The FDA feels that the confusion in the laetrile semantics was purposely perpetrated by Krebs and company for the express purpose to continue to use drugs containing amygdalin while justifying the use of drugs by theories associated with the Laetrile of Krebs, Jr., and they are probably correct. The explanation upon which Krebs believed that Laetrile functioned was known as the trophoblast theory. This theory which has never been proven has been discussed at length in Gurchot's book, *Biology. Key to the riddle of cancer, 1943).*

Laetrile (amygdalin) does not contain unbound free toxic cyanide, which is contrary to what the anti-literalists would like the public to believe. True cyanides will be discussed shortly.

Regardless of how one might feel about the use of the term laetrile, the word is here to stay and all one can hope to do is to define its usage. In the interest of clarification, the use of the term Laetrile (amygdalin) will be restricted in this publication to that defined by the *Merck Index* (9^{th} ed., 1976), which has been discussed in the previous paragraph.

Optical Isomers of Amygdalin

Optical isomers are two or more compounds which have the same chemical composition and the same two-dimensional structural formulas, but differ in the spatial arrangement of the atoms or groups about one or more asymmetric atoms or bonds that are present, so that the plane of polarized light is rotated in a different direction, either (left, levo or right, dextro). Amygdalin is either in a dextro or a levo form. Ordinary amygdalin is D(/)-mandelonitrile-β-D-glucosido-6-D-glucoside (Merck, 1976). However, when racemization (Production of mixture in which a dextro-and levorotatory optically active isomers in equal amounts) takes place, the amygdalin molecule can be transformed into its tow optical isomers of the dextro-and lavo- forms. Chemical analyses have shown that racemic amygdalin is 56.25% of the dextro and 43.75% of the levo form. This matter is believed to be of biological and therapeutic importance because the Dextro form is considered to be therapeutically inactive in cancer therapy, whereas the leve form is reputedly the therapeutically is the active form. It is therefore imperative that the highest level of quality control be employed in the production of amygdalin. Failure to recognized these points may result in inadequate dosage levels and poor therapeutic results (Krieble, 1912; Levi, et al, 1965; Rubin and Issahary, 1976)

Linamarin

Linamarin is a canogenic glycoside, chemically related to amygdalin, which is derived from various plant species. Linamarin has been known since 1891. It does not contain free cyanide. The cyanide ion (CN-) only becomes free when it undergoes hydrolysis. One of the most common plant sources of linamarin is the cassava, also known as manioc or tapioca (*Manihot esculenta* Crantz).

The cassava is a major starch food for millions of people throughout the tropical world, and provides a valuable source of carbohydrate, protein, calcium, iron, thiamine, riboflavin and niacin. Cassava is commonly used by anti-laetrilists as an example of the poisonous properties of "laetrile" because tropical ataxic neuropathy and endemic goiter appear to be associated with malnutrition. It is believed that there are multiple factors involved in the causation of ataxic neuropathy. Attributing ataxic neuropathy solely to linamarin is of questionable scientific validity and has even less pertinence to amygdalin (laetrile) since linamarin has never been used in cancer metabolic therapy in the United States, but has been used elsewhere in the world. The toxicity of linamarin has been discussed in great detail by Nestel & MacIntyre (1973). Those that have used linamarin claim to have good results similar to laetrile, but was less costly (Navarroto laetrile at less cost). It should also be noted that none of the physicians using linamarin has ever reported their patients developing ataxic neuropathy as claimed by Victor Herbert in the Chad Green trial.

It should be noted that linamarin has a different chemical structure than amygdalin. Linamarin is 2-(-D-glucopyranoslyloxy)-2-methylprpanenitrile, or phaseolunatin. The empirical formula is C10H17NO6. The molecular weight is 247.24. The elemental composition is c 48.58%, H 6.93%, N 5.67%, O 38.83%.

Benzaldehyde

Benzaldehyde is also known as benzoic aldehyde and commercially as an artificial essential oil of almonds. The chemical formula is C7H6O. It has a molecular weight of 106.12. The elemental composition is C 79.22%, H 5.70%, O 15.08%. Benzaldehyde occurs in the kernels of bitter almonds and apricots. Benzaldehyde can be synthetically produced from benzyl chloride and lime of by oxidation of toluene. It is used commercially in dyes, perfumes and flavorings. It is a normal metabolic byproduct of amygdalin (laetrile) and has been demonstrated to have anticancer activity in laboratory animals (Takeuchi, er al, 1978) and acts as a analgesic (Barker & Levitan, 1976; Contreras, 1982).

Thiocyanate

This compound is also known as sulfocyanate of rhodanate. The chemical formula is SCN. The molecular weight is 58.07. The elemental composition is S 55.2%, C 20.6%, N 14.0%. The sodium and potassium salts of thicyanate have been used extensively in the past in the United States in the treatment of hypertension. Thiocyanate was first studied by Claude Bernard in 1857, but despite this long history of usage the mechanism of action is still unknown. Thiocyanate is normal metabolic byproduct resulting from ingestion of cyanide-containing foods (nitrilosides) such as maize, sorghum, millet, beans, cassava, lettuce, cabbages, cruciferous vegetables, apricot kernels, almonds, etc. (Osol and Farrar, 1947). The National Research Council.

Pyrogens

The FDA and other agencies frequently refer to pyrogens and pyrogenic reactions due to improperly manufactured laetrile. Pyrogens are toxic substances produced by certain types of microorganisms that have contaminated a solution, medicines, or glassware during the process of manufacturing. Pyrogens are sometimes referred to as bacterial pyrogens or endotoxins. Bacteriologists have recognized them since 1875. Pyrogens are derived from the cell walls of gram-negative bacteria and have been identified biochemically as lipo-polysaccharides of high molecular weight. However, in addition to these end toxins, various other types of gram-positive bacteria, viruses and fungi are also capable of producing pyrogenic substances known, and may produce adverse effects at even submicrogram amounts. Pyrogens are not limited to laetrile and may be found in any contaminated solution, water, or medicine. They must be injected to produce their effects. Pyrogenic reactions consist of fever, chills, changes in the white blood count, and in rare instances may adversely affect various other organ systems. Pyrogen reactions are usually of short duration, self-limiting, and are treated symptomatically.

Cyanide

The purpose of this recital on the subject of cyanide is to clarify the continual misconception that laetrile contains chemical cyanide. It does not contain chemical cyanide, but it does contain cyanide ion (-CN). When laetrile is metabolized in the body it is converted to thiocyanate, a relatively non-toxic product that has inhibitory effect against cancer (Contreras, 1982).

The term "cyanide" is used to designate hydrogen cyanide (also known as hydrocyanic acid, prussic acid, or formonitrile), or cyanide salts (sodium cyanide (NaCN), potassium cyanide (KCN), or calcium cyanide (Ca(CN)2). The word "cyanide" or the symbol "CN" are commonly used to designate both hydrogen cyanide as well as the salts of cyanide.

These cyanide substances are also referred to as "free cyanide." When the term "cyanide" is used, it refers to one of the above substances. This is an important fundamental point of chemistry and toxicology because on this point hinges most of the deceptions and fraudulent claims of anti-laetrilists. Most of the information provided in this presentation is taken directly from the publication of the National Institute for Occupational Safety and Health (NIOSH), U.S. Department of Health, Education, and Welfare (DHEW), entitled *Occupational Exposure to Hydrogen Cyanide and Cyanide Salts* (NIOSH, 1976), and the *Merck Index,* 9th edition, 1976 and the 11th edition, 1989.

Hydrogen Cyanide (hydrocyanic acid, prussic acid, or formonitrile): Hydrogen cyanide is prepared on a large scale by the catalytic oxidation of ammonia-methane mixtures, or by the catalytic decomposition of form amide. The chemical formula is HCN. The molecular weight is 27.03. The elemental composition is C 44.44%, H 3.73%, N 51.83%. It is a colorless gas or liquid, having a penetrating characteristic odor of bitter almonds.

Sodium Cyanide: The commercial form of this compound form of this compound is 95-98% pure, and is known as cyanogran. Mixtures of sodium cyanide with sodium chloride or carbonate are marketed for various purposes. NaCN is a white crystalline solid at room temperature. The chemical formula is NaCN. The molecular weight is 49.02. The elemental composition is C 24.50%, N 28.58%, Na 48.92%. NaCN consists of either white granules or fused pieces. It is odorless when dry but emits an odor of HCN when moist. The aqueous solution is strongly alkaline. It is commercially produced from coke-oven gas by the reaction of HCN and sodium hydroxide.

Potassium Cyanide: The chemical formula is KCN. The molecular weight is 65.11. The elemental composition is C 18.440%, K 60.05%, N 21.51%. The article of commerce contains about 95% KCN. Strong solutions are can be absorbed through the skin. KCN is in the form of white granules or fused pieces which, when exposed to the air, may emit an odor of HCN. An aqueous solution is strongly alkaline. KCN is commercially produced by methods similar to NaCN.

Calcium Cyanide: The chemical formula is Ca(CN)2, commercially known as black cyanide. The molecular weight is 92.12. The elemental composition is C 26.0%, Ca 43.52%, N 30.41%. The commercial product of this compound contains 40-50% of Ca (CN)2. Ca(CN)2 consists of crystals or a powder. When moist it emits an odor of HCN. Ca(CN)2 is commercially made by heating calcium cyanamide in a electric furnace at high temperatures in the presence of sodium chloride, and then rapidly cooling it. Ca(CN)2 is used in a variety of manufacturing procedures.